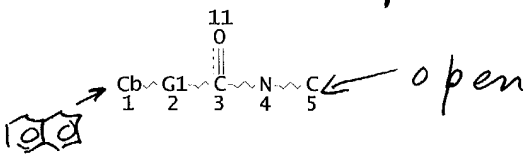
Search for Claim 2 | packet #2

KAM 09/926,391

```
=> d que l21
L2              STR
```

parent search

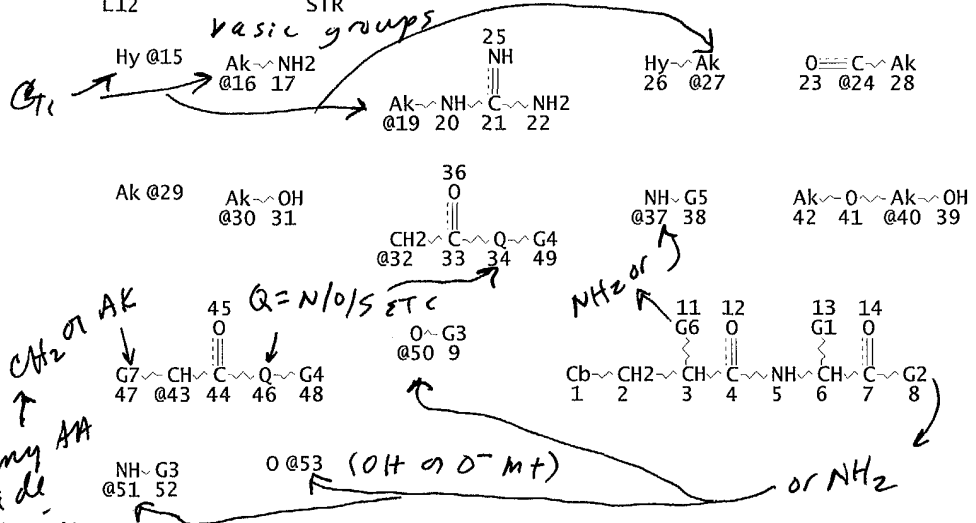
This search is better Applicants species (claim 3 look novel) some of these cpds should cover the broad claims.




```
REP G1=(0-7) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT   IS PCY  UNS  AT   1
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E10 C  AT   1

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6

STEREO ATTRIBUTES: NONE
L3  (    513628)SEA FILE=REGISTRY ABB=ON  PLU=ON  591.49.57/RID
L4        32652 SEA FILE=REGISTRY SUB=L3 SSS FUL L2   32,652 cpds
L12             STR
```




```
VAR G1=27/15/16/19
VAR G2=NH2/53/50/51
VAR G3=43/32/24/29/30/40
VAR G4=H/24/29/30/40
VAR G5=24/29/30
VAR G6=NH2/37
VAR G7=29/CH2
NODE ATTRIBUTES:
CONNECT IS E2  RC AT  16
CONNECT IS E2  RC AT  19
CONNECT IS E2  RC AT  27
CONNECT IS E1  RC AT  28
CONNECT IS E1  RC AT  29
CONNECT IS E2  RC AT  30
CONNECT IS E3  RC AT  40
CONNECT IS E1  RC AT  42
CONNECT IS E1  RC AT  53
DEFAULT MLEVEL IS ATOM
GGCAT   IS PCY  UNS  AT   1
GGCAT   IS MCY  UNS  AT  15
GGCAT   IS MCY  UNS  AT  26
```

```
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E10 C  AT   1
ECOUNT  IS E3 C  E2 N  AT  15
ECOUNT  IS E3 C  E2 N  AT  26

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  50

STEREO ATTRIBUTES: NONE
L14         115 SEA FILE=REGISTRY SUB=L4 SSS FUL L12
L15          63 SEA FILE=REGISTRY ABB=ON  PLU=ON  L14 AND 1 591.49.57/RID  NOT
                (((C S OR C N S)/RELF OR NC5/ES)
L18          44 SEA FILE=REGISTRY ABB=ON  PLU=ON  L15 NOT NC4-C6/ES
L20          30 SEA FILE=REGISTRY ABB=ON  PLU=ON  L18 NOT ("BIPHENYL" OR "
                BENZENEBUTANAMIDE" OR  "CYCLOHEXYL")
L21          10 SEA FILE=CAPLUS ABB=ON  PLU=ON  L20
```

115 cpds from subset search

} getting rid of junk

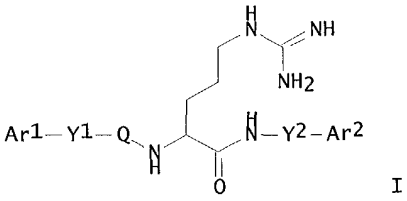
30 cpds

← 10 cites

```
=> d ibib abs hitstr 1-10

L21  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:        2002:888709  CAPLUS
DOCUMENT NUMBER:         137:370364
TITLE:                   Preparation of peptide amide derivatives containing
                         arginine having affinity and specificity for
                         melanocortin MC4 receptor.
INVENTOR(S):             Nakazato, Atsuro; Okubo, Taketoshi; Umemiya, Hiroki
PATENT ASSIGNEE(S):      Taisho Pharmaceutical Co., Ltd., Japan
SOURCE:                  PCT Int. Appl., 44 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     WO 2002092566      A1   20021121      WO 2002-JP4666   20020514
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                   JP 2001-144659   A  20010515
OTHER SOURCE(S):         MARPAT 137:370364
GI
```



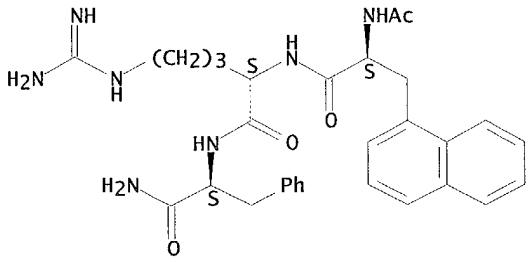
I

AB Arginine derivs. represented by the following general formula (I) or medicinally acceptable salts thereof: [wherein Ar1 and Ar2 are each independently Ph, substituted Ph, naphthyl, substituted naphthyl, or an arom. heterocyclic group contg. one or more atoms selected from among nitrogen, oxygen and sulfur; Y1 is C1-5 alkylene, C2-5 alkenylene, or a single bond, with the proviso that the C1-5 alkylene may contain a carbon atom substituted with Ph, substituted Ph, naphthyl, substituted naphthyl, or C1-10 acylamino; Q is carbonyl or sulfonyl; and Y2 is C1-5 alkylene which may contain a carbon atom substituted with Ph, substituted Ph, naphthyl, substituted naphthyl, hydroxyl, carbamoyl, mono(C1-5 alkyl)amido, or di(C1-5 alkyl)amido] are prepd. Peptidic ligands are provided, which have affinity and specificity for MC4 receptor. Thus, Boc-Arg(Z2)-OH was condensed with 3-(2-naphthyl)-D-alaninamide using 1-hydroxybenzotriazole monohydrate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and N-methylmorpholine in DMF at room temp. for 3 days to give Boc-Arg(Z2)-3-(2-naphthyl)-D-Ala-NH2 which was treated with CF3CO2H in CH2Cl2 at room temp. for 2 h to give H-Arg(Z2)-3-(2-naphthyl)-D-Ala-NH2 which was similarly condensed with Boc-3-(1-naphthyl)-D-Ala-OH to give Boc-3-(1-naphthyl)-D-Ala-Arg(Z2)-3-(2-naphthyl)-D-Ala-NH2 (II). Similar deprotection of II with CF3CO2H in CH2Cl2 followed by acetylation with Ac2O in pyridine and hydrogenolysis over 20% Pd(OH)2/C in MeOH for 2 days gave Ac-3-(1-naphthyl)-D-Ala-Arg-3-(2-naphthyl)-D-Ala-NH2 (III). III showed IC50 of 690 nM for inhibiting the binding of [125I]Nle4-D-Phe7-.alpha.-MSH to a membrane prepn. from HEK-293 cell expressing human MC4 receptor. A total of 559 I di- and tripeptide amide derivs. were prepd.

IT **475497-84-8P 475497-85-9P 475497-94-0P**
475497-95-1P 475497-96-2P 475497-97-3P
475498-06-7P 475498-07-8P 475498-08-9P
475498-09-0P 475498-18-1P 475498-19-2P
475498-20-5P 475498-21-6P 475498-30-7P
475498-31-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tripeptide amide derivs. contg. arginine as ligands having specific affinity for melanocortin MC4 receptor.)

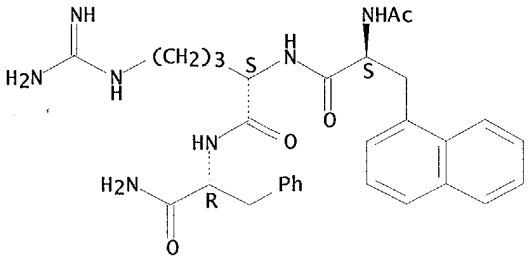
RN 475497-84-8 CAPLUS
CN L-Phenylalaninamide, N-acetyl-3-(1-naphthalenyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



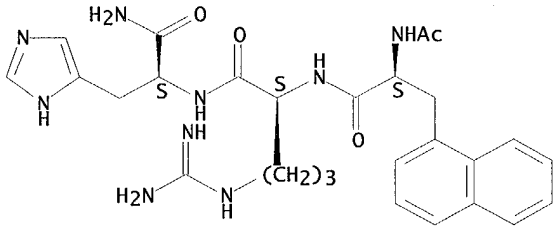
RN 475497-85-9 CAPLUS
CN D-Phenylalaninamide, N-acetyl-3-(1-naphthalenyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



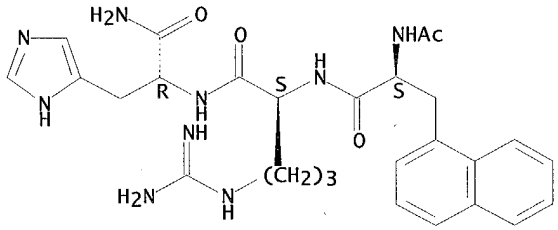
RN 475497-94-0 CAPLUS
CN L-Histidinamide, N-acetyl-3-(1-naphthalenyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



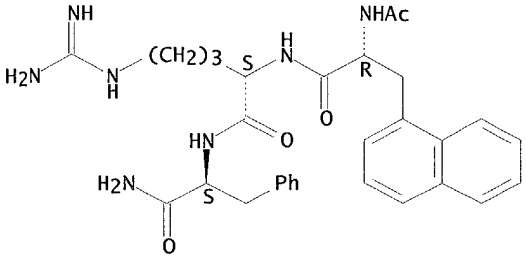
RN 475497-95-1 CAPLUS
CN D-Histidinamide, N-acetyl-3-(1-naphthalenyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



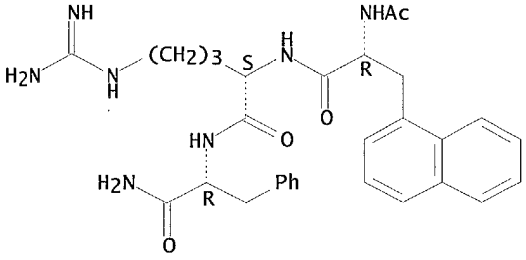
RN 475497-96-2 CAPLUS
CN L-Phenylalaninamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



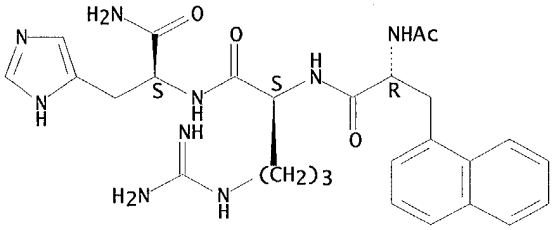
RN 475497-97-3 CAPLUS
CN D-Phenylalaninamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



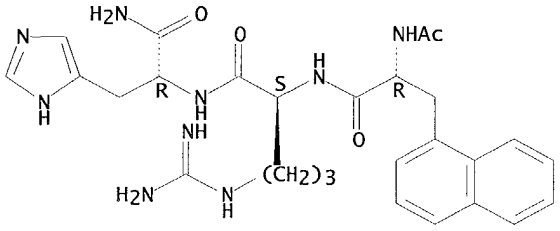
RN 475498-06-7 CAPLUS
CN L-Histidinamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



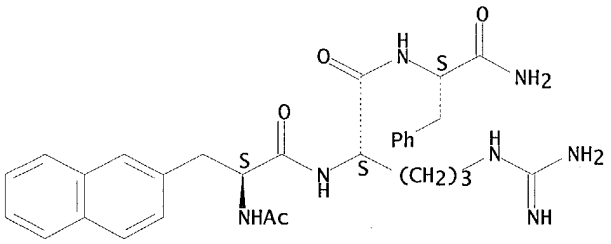
RN 475498-07-8 CAPLUS
CN D-Histidinamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



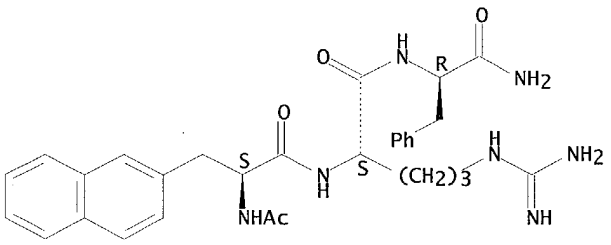
RN 475498-08-9 CAPLUS
CN L-Phenylalaninamide, N-acetyl-3-(2-naphthalenyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



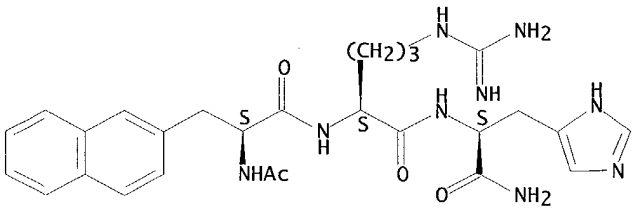
RN 475498-09-0 CAPLUS
CN D-Phenylalaninamide, N-acetyl-3-(2-naphthalenyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 475498-18-1 CAPLUS
CN L-Histidinamide, N-acetyl-3-(2-naphthalenyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

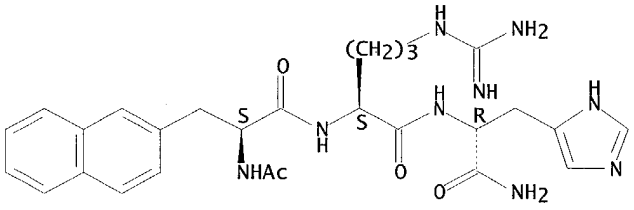
Absolute stereochemistry.



RN 475498-19-2 CAPLUS

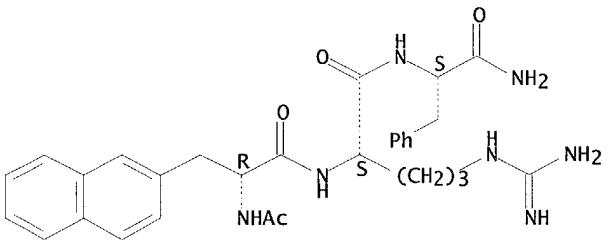
CN D-Histidinamide, N-acetyl-3-(2-naphthalenyl)-L-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



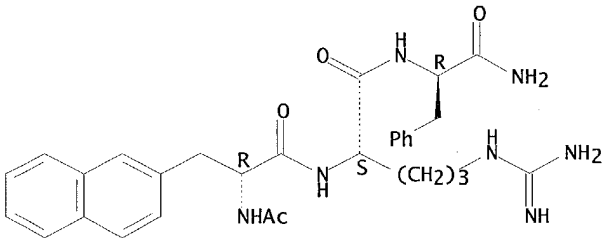
RN 475498-20-5 CAPLUS
CN L-Phenylalaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



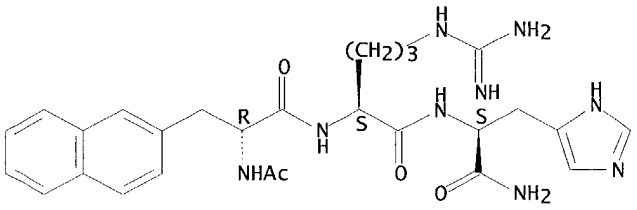
RN 475498-21-6 CAPLUS
CN D-Phenylalaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



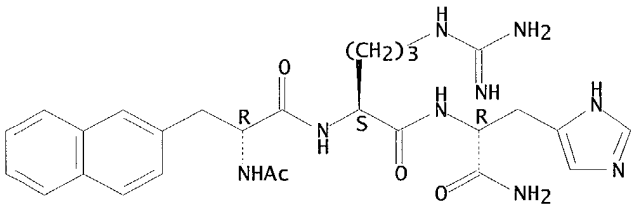
RN 475498-30-7 CAPLUS
CN L-Histidinamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-arginyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 475498-31-8 CAPLUS
CN D-Histidinamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935662 CAPLUS
DOCUMENT NUMBER: 136:58855
TITLE: Chemically-modified peptides, compositions, and methods of production for antimicrobial use
INVENTOR(S): Kuhner, Carla H.; Romesser, James A.
PATENT ASSIGNEE(S): Hercules Incorporated, USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098362	A2	20011227	WO 2001-US19400	20010615
WO 2001098362	A3	20021205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001068512	A5	20020102	AU 2001-68512	20010615
US 2003050247	A1	20030313	US 2001-882781	20010615
EP 1294740	A2	20030326	EP 2001-946464	20010615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-212441P P 20000616
WO 2001-US19400 W 20010615
OTHER SOURCE(S): MARPAT 136:58855

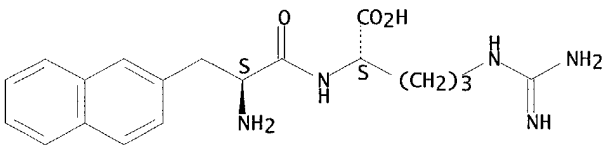
AB Compns. and methods for inhibiting and controlling the growth of microbes are disclosed. The compn. comprises at least one chem.-modified peptide with antimicrobial activity and at least one carrier. The method comprises administering an amt., effective for the prevention, inhibition and termination of microbial growth for industrial, pharmaceutical, household and personal care use.

IT **383179-86-0**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chem.-modified peptides, compns., and methods of prodn. for antimicrobial use)

RN 383179-86-0 CAPLUS

CN L-Arginine, 3-(2-naphthalenyl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:911284 CAPLUS

DOCUMENT NUMBER: 134:71900

TITLE: Preparation of peptides as antimicrobial agents

INVENTOR(S): Spatola, Arno F.; Wen, James Jun; Vogel, David M.

PATENT ASSIGNEE(S): University of Louisville Research Foundation, Inc., USA

SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078793	A2	20001228	WO 2000-US17115	20000622
WO 2000078793	A3	20010322		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6551998	B1	20030422	US 2000-599787	20000622

PRIORITY APPLN. INFO.: US 1999-140208P P 19990622

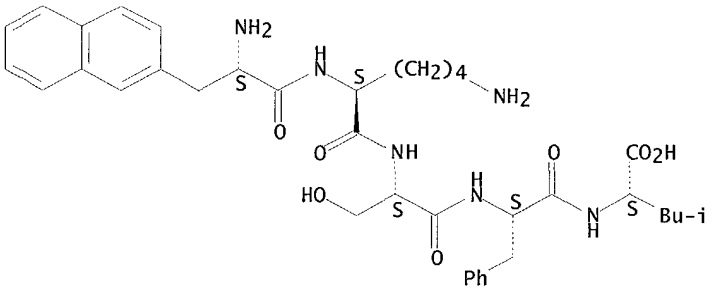
OTHER SOURCE(S): MARPAT 134:71900

AB Pseudopeptides R1-X-R2 [X is a peptide comprising .apprx. 4-10 amino acids in which at least one of the amide linkages is replaced by -NRcCRaRb- (Ra, Rb, and Rc = H, (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C3-C8)cycloalkyl, (C1-C6)alkoxycarbonyl, aryl, or heterocyclyl); R1 and R2 are each independently H, a saccharide, a lipid, a solubilizing agent, or a suitable protecting group] or pharmaceutically acceptable salts, as well as pharmaceutical compns. comprising such compds. or salts, were prepd. for treating bacterial infection. Thus, H-2-Nal.PSI.[CH2NH]Lys-Ser-Phe.PSI.[CH2NH]Leu-OH (Nal = naphthyalanine residue) was prepd. by the solid-phase method and showed IC50 = 10 and MIC = 16-32 .mu.g/mL for inhibition of Pseudomonas aeruginosa.

IT **314732-24-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptides as antimicrobial agents)
RN 314732-24-6 CAPLUS
CN L-Leucine, 3-(2-naphthalenyl)-L-alanyl-L-lysyl-L-seryl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:772657 CAPLUS
DOCUMENT NUMBER: 133:329599
TITLE: Melanocyte-stimulating hormone inhibitors
INVENTOR(S): Shiojiri, Eiji; Takino, Yoshinobu; Chujou, Hiromi; Sakamoto, Kazutami; Ijichi, Chiori; Eto, Yuzuru; Iwasaki, Keiji
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

applicant

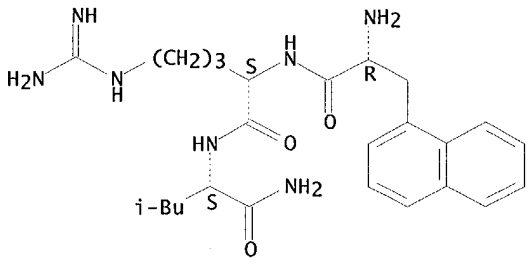
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064926	A1	20001102	WO 2000-JP2687	20000425
W: CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1174437	A1	20020123	EP 2000-917447	20000425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1999-118633 A 19990426
WO 2000-JP2687 W 20000425

AB MSH inhibitors characterized by contg., as the active ingredient, di- or tripeptide derivs. having a specific naphthyl group or salts thereof, or a MSH inhibiting compd. showing a 50% inhibitory concn. (IC50) on cAMP prodn. of 100 nm or less. These inhibitors can inhibit pigmentation, prevent, ameliorate or treat immunopathy or immunodeficiency, or regulate body wt. by controlling appetite. These inhibitors are usable in cosmetics and skin prepns. for external use. Moreover, they can be easily produced and have a high storage stability.
IT **303728-46-3P 303728-47-4P 303728-48-5P**
303728-49-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(di- and tripeptide derivs. as MSH inhibitors)
RN 303728-46-3 CAPLUS

CN L-Leucinamide, 3-(1-naphthalenyl)-D-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

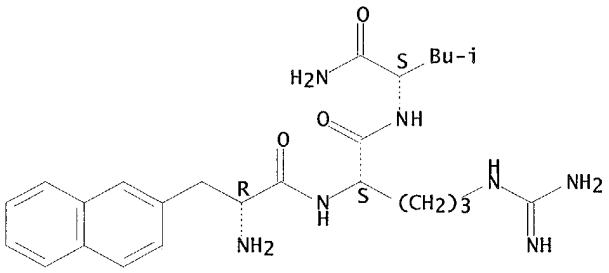
Absolute stereochemistry.



cpds are the claimed species - they are novel

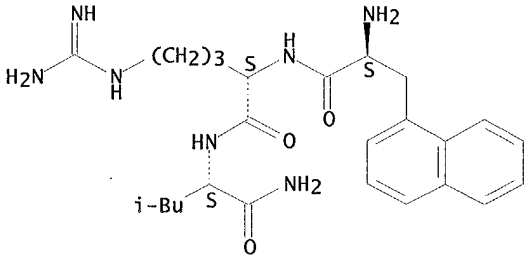
RN 303728-47-4 CAPLUS
CN L-Leucinamide, 3-(2-naphthalenyl)-D-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



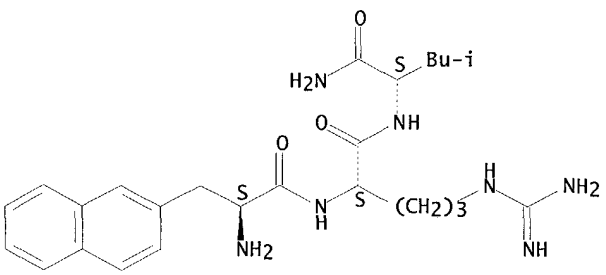
RN 303728-48-5 CAPLUS
CN L-Leucinamide, 3-(1-naphthalenyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 303728-49-6 CAPLUS
CN L-Leucinamide, 3-(2-naphthalenyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



good

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:144899 CAPLUS
DOCUMENT NUMBER: 132:189658
TITLE: Amino acid derivative and peptide anti-cancer compounds and methods
INVENTOR(S): Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos; York, Eunice; Bunn, Paul
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011022	A1	20000302	WO 1999-US19381	19990820
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6388054	B1	20020514	US 1999-378019	19990819
AU 2000015959	A1	20000314	AU 2000-15959	19990820
US 2002183252	A1	20021205	US 2001-35662	20011228

PRIORITY APPLN. INFO.:
US 1998-97210P P 19980820
US 1999-141169P P 19990625
US 1999-378019 A 19990819
WO 1999-US19381 W 19990820

OTHER SOURCE(S): MARPAT 132:189658

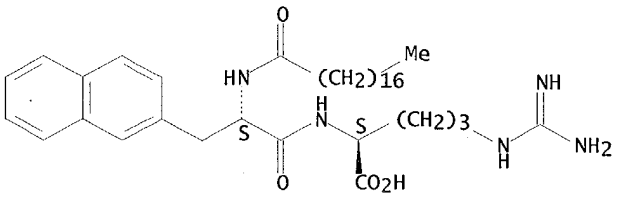
AB The invention provides amino acid deriv. and peptidic compds. useful to inhibit tumor growth and to induce apoptosis. In general, the anti-cancer agents (ACA) are described by the formula [ACA]n-X [X = linker group with 2-5 functional groups or is absent; n = 1; ACA as described in the invention (Markush included)].

IT **259883-01-7P**, M160
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide and non-peptide anti-cancer compds. and methods)

RN 259883-01-7 CAPLUS

CN L-Arginine, 3-(2-naphthalenyl)-N-(1-oxooctadecyl)-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



good

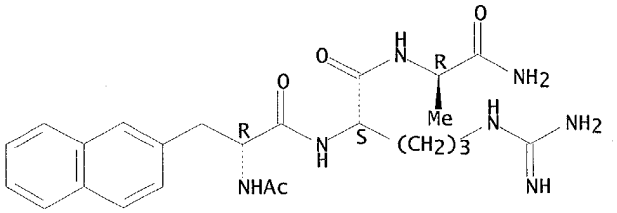
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:666961 CAPLUS
DOCUMENT NUMBER: 123:48053
TITLE: Reduced-Size Antagonists of Luteinizing Hormone-Releasing Hormone Active in Vitro
AUTHOR(S): Janecka, Anna; Janecki, Tomasz; Bowers, Cyril; Folkers, Karl
CORPORATE SOURCE: Institute for Biomedical Research, University of Texas, Austin, TX, 78705, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(15), 2922-4
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of reduced-size analogs of LHRH was designed with the length varying from nine to two amino acids. These compds. were tested in vitro for the LH suppression in cultured rat pituitary cells treated with 1 ng of LHRH. The best analogs were also tested in vivo for their antiovulatory activity in rats. It appeared that terminal amino acids as well as the presence of Arg or ILys (N.epsilon.-isopropyllysine) in the sequence are both crucial for the antagonism. The most potent antagonist in this series was a heptapeptide, Ac-D-Nal-Ser-Tyr-D-Nal-Leu-Arg-ProNHEt, which completely inhibited LH release at the concn. 0.1 .mu.g/mL and inhibited ovulation at 1000 .mu.g/rat. For fragments shorter than heptapeptide the inhibition of LH release was obsd. at 100 .mu.g/mL concn. of the analog.

IT **162152-83-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(reduced-size antagonists of LH-releasing hormone active in vitro)
RN 162152-83-2 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



good

L21 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:214133 CAPLUS
DOCUMENT NUMBER: 122:75305
TITLE: Cyclic Hexapeptides and Chimeric Peptides as Mimics of

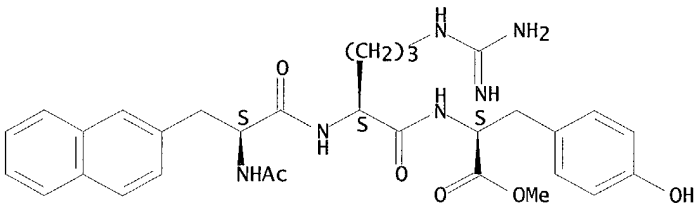
Tendamistat
AUTHOR(S): Etzkorn, Felicia A.; Guo, Tao; Lipton, Mark A.; Goldberg, Steven D.; Bartlett, Paul A.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720-1460, USA
SOURCE: Journal of the American Chemical Society (1994), 116(23), 10412-25
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors describe the design and evaluation of structural mimics of tendamistat, a 74-residue proteinaceous inhibitor of .alpha.-amylase. Cyclic hexapeptides were designed in which the sequence Trp-Arg-Tyr is constrained to the i + 1 to i + 3 positions of a type I .beta.-turn; these compds. inhibit .alpha.-amylase with Ki values of 14-32 .mu.M, significantly more tightly than related linear tri- and hexapeptides. Incorporation of the bicyclic Nagai-Sato type II .beta.-turn mimic opposite the Trp-Arg-Tyr sequence in a chimeric mol. leads to a weaker inhibitor. NMR studies indicate that the desired .beta.-turn conformation is adopted by the cyclic hexapeptides but not by the chimeric mol., supporting the interpretation that the former are indeed acting as small mol. mimics of tendamistat.

IT **160248-32-8**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(cyclic hexapeptides and chimeric peptides as mimics of tendamistat)

RN 160248-32-8 CAPLUS
CN L-Tyrosine, N-[N2-[N-acetyl-3-(2-naphthalenyl)-L-alanyl]-L-arginyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



good

L21 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:221389 CAPLUS
DOCUMENT NUMBER: 114:221389
TITLE: Preparation of anaphylatoxin-receptor peptide ligands for modulating anaphylatoxic activity and treatment of inflammation
INVENTOR(S): Kawai, Megumi; Or, Yat Sun; Wiedeman, Paul E.; Luly, Jay R.; Moyer, Mikel P.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9009162	A2	19900823	WO 1990-US296	19900116
WO 9009162	A3	19901129		

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE

CA 2045578	AA	19900801	CA 1990-2045578	19900116
EP 456758	A1	19911121	EP 1990-903567	19900116
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04503073	T2	19920604	JP 1990-503686	19900116
US 5223485	A	19930629	US 1991-691039	19910619
PRIORITY APPLN. INFO.:			US 1989-304693	19890131
			WO 1990-US296	19900116

AB Oligopeptides and oligopeptide analogs are prepd. as ligands for the anaphylatoxin receptor and are useful in the treatment of inflammatory disease states and modulation of anaphylatoxin activity. Thus, H-Phe-Lys-Ala-[(2S)-2-amino-3-cyclohexylpropanoyl]-[(2S-2-amino-3-cyclohexylpropanoyl]-Leu-D-Ala-Arg-OH (prepn. given) had a Ki (inhibition const.) of 0.098 .mu.M for anaphylatoxin receptor binding. The invention discloses >400 peptides.

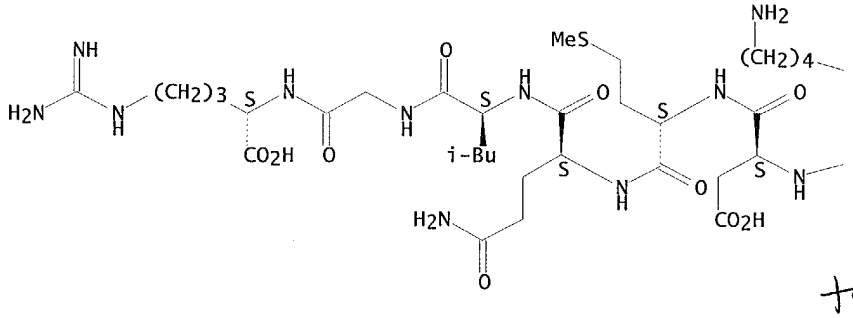
IT **133254-75-8 133254-76-9**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(anaphylatoxin receptor ligand for inflammation inhibition and anaphylatoxin modulation)

RN 133254-75-8 CAPLUS

CN L-Arginine, N2-[N-[N-[N2-[N-[N-[N2-[3-(1-naphthalenyl)-L-alanyl]-L-lysyl]-L-.alpha.-asparty]-L-methionyl]-L-glutaminyl]-L-leucyl]glycyl]- (9CI) (CA INDEX NAME)

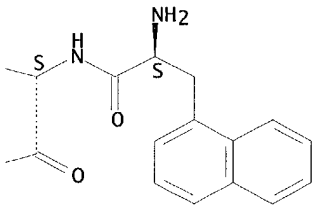
Absolute stereochemistry.

PAGE 1-A



too long

PAGE 1-B

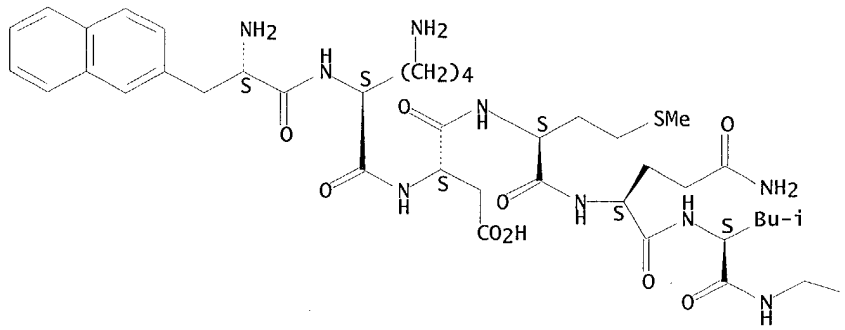


RN 133254-76-9 CAPLUS

CN L-Arginine, N2-[N-[N-[N2-[N-[N-[N2-[3-(2-naphthalenyl)-L-alanyl]-L-lysyl]-L-.alpha.-asparty]-L-methionyl]-L-glutaminyl]-L-leucyl]glycyl]- (9CI) (CA INDEX NAME)

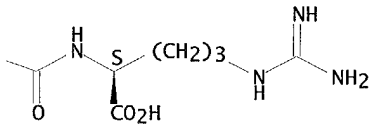
Absolute stereochemistry.

PAGE 1-A



too long

PAGE 1-B



L21 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:157334 CAPLUS
DOCUMENT NUMBER: 114:157334
TITLE: Increased concentrations of immunoreactive inhibin during conception cycles in the marmoset monkey: suppression with an LHRH antagonist and cloprostenol
AUTHOR(S): Webley, G. E.; Knight, P. G.; Given, A.; Hodges, J. K.
CORPORATE SOURCE: Comp. Physiol. Group, Inst. Zool., London, NW1 4RY, UK
SOURCE: Journal of Endocrinology (1991), 128(3), 465-73
CODEN: JOENAK; ISSN: 0022-0795
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Peripheral concns. of immunoreactive (ir) inhibin have been measured during the ovarian cycle and early pregnancy in the marmoset monkey. Blood samples were taken (3/wk) during conception and non-conception cycles. Ir-inhibin was measured by RIA using an antiserum raised against a synthetic peptide fragment of the .alpha. subunit of human inhibin. Monomeric bovine .alpha. subunit and 32 kDa bovine inhibin were used as tracer and std. resp. In all animals low concns. of ir-inhibin were recorded during the follicular phase (40-60 .mu.g/L) of the cycle. After ovulation, ir-inhibin concns. increased but the peak concns. attained differed between conception and non-conception cycles. In non-pregnant animals ir-inhibin concns. reached a max. of 242 .mu.g/L on days 12/13 after ovulation. In pregnant animals ir-inhibin concns. were higher (1.8-fold) than in non-pregnant animals on days 8/9 after ovulation, and reached a max. value of 636 .mu.g/L on days 20/21 after ovulation.

Administration of an LH-RH antagonist during the luteal phase on days 6-8 after ovulation decreased progesterone and ir-inhibin concns. within 4 and 8 h, resp. This was prevented by coadministration with human chorionic gonadotropin. Administration of cloprostenol to pregnant animals between days 17 and 20 after ovulation halved the initial concns. of both inhibin and progesterone within 1.5 h. The increase in plasma ir-inhibin concns. in the luteal phase and the apparent similarity in control of ir-inhibin and progesterone supports a luteal source of ir-inhibin in both conception and non-conception cycles. The higher levels of ir-inhibin from days 8/9 after ovulation in conception cycles were not related to any detectable increase in peripheral concns. of chorionic gonadotropin and occurred at least 4 days before the expected time of implantation. This suggests a role for the conceptus in inhibin secretion which may involve the release of an embryo message before implantation.

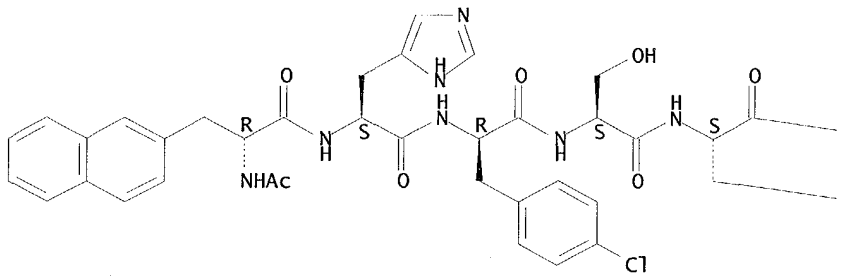
IT **132998-39-1**
RL: BIOL (Biological study)
(inhibin secretion suppression by, in marmoset monkey)

RN 132998-39-1 CAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-histidyl-4-chloro-D-phenylalanyl-L-seryl-L-tyrosyl-D-arginyl-L-phenylalanyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

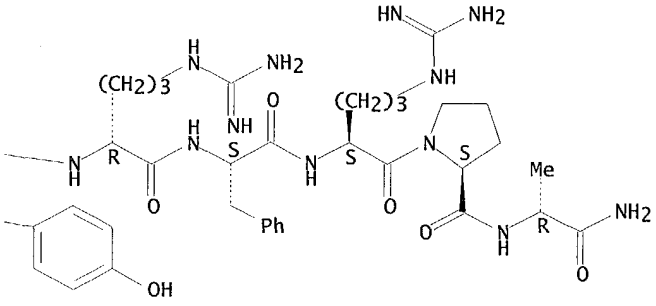
Absolute stereochemistry.

PAGE 1-A



too long

PAGE 1-B



L21 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:542380 CAPLUS
DOCUMENT NUMBER: 103:142380
TITLE: Hypotensive peptides and their use
INVENTOR(S): Matsueda, Rei; Yabe, Yuichiro; Kokubu, Tatsuro; Hiwada, Kunio; Yamazaki, Mitsuo
PATENT ASSIGNEE(S): Sankyo Co., Ltd. , Japan

SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

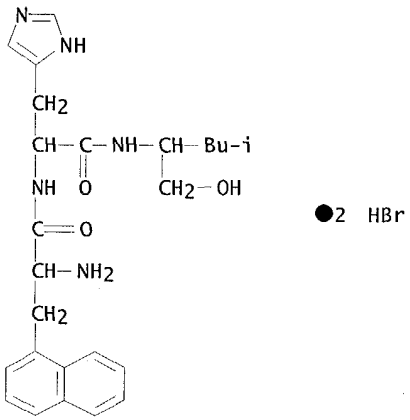
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 128762	A2	19841219	EP 1984-303905	19840608
EP 128762	A3	19851002		
EP 128762	B1	19880608		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 59227851	A2	19841221	JP 1983-103230	19830609
US 4548926	A	19851022	US 1984-618127	19840607
ES 533285	A1	19851101	ES 1984-533285	19840608
CA 1271596	A1	19900710	CA 1984-456852	19840611

PRIORITY APPLN. INFO.: JP 1983-103230 19830609
OTHER SOURCE(S): CASREACT 103:142380

AB RCO-(S)-His-(S)-NHCHR1R2 [RCO = (un)substituted acyl; R1 = iso-Bu, sec-Bu; R2 = CHO, CHR3R4 [R3 = H, (un)substituted alkyl; R4 = OH, SH, CHO], P(O)(OH)R5 (R5 = OH, substituted alkyl or alkoxy)] were prepd. as hypotensives due to their ability to inhibit renin. Thus, Z-L-Nal-L-His-NHNH2 [Z = PhCH2O2C, Nal = 3-(1-naphthyl)alanine residue] was converted to the azide and then coupled with L-leucinal semicarbazone to give Z-L-Nal-L-His-L-leucinal semicarbazone, which was cleaved by BuOH/HOAc/H2O to give Z-L-Nal-L-His-L-Leucinal (I). I at 5 .times. 10-5M inhibited renin by 54%.

IT **96402-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and peptide coupling of, with alanine deriv.)

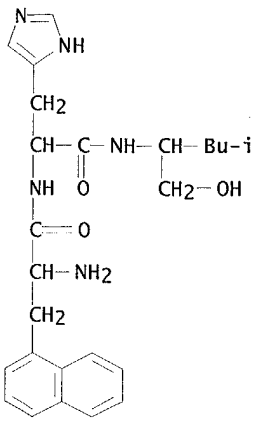
RN 96402-39-0 CAPLUS
CN L-Histidinamide, 3-(1-naphthalenyl)-L-alanyl-N-[1-(hydroxymethyl)-3-methylbutyl]-, dihydrobromide, (S)- (9CI) (CA INDEX NAME)



good

IT **96444-07-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 96444-07-4 CAPLUS
CN L-Histidinamide, 3-(1-naphthalenyl)-D-alanyl-N-[1-(hydroxymethyl)-3-methylbutyl]-, dihydrobromide, (S)- (9CI) (CA INDEX NAME)



●2 HBr

good